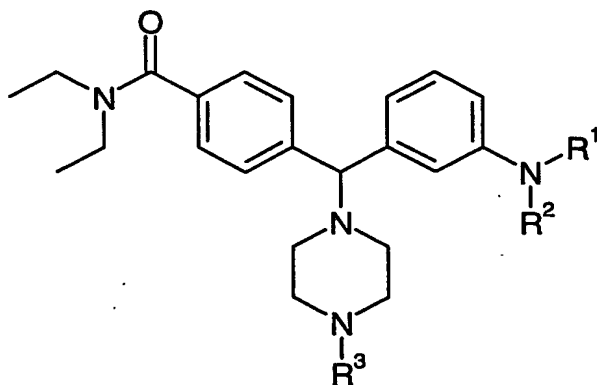


What is claimed is :

1. A compound of formula I, a pharmaceutically acceptable salt thereof:



5

I

wherein

- R¹ is selected from C₃₋₆alkyl, C₆₋₁₀aryl, C₂₋₉heteroaryl, C₆₋₁₀aryl-C₁₋₄alkyl, C₂₋₉heteroaryl-C₁₋₄alkyl, C₃₋₁₀cycloalkyl, C₃₋₁₀cycloalkyl-C₁₋₄alkyl, R⁸-C(=O)-, R⁸-S(=O)₂-, R⁸-S(=O)-, R⁸-NHC(=O)-, R⁸-C(=S)- and R⁸-NH-C(=S)-, wherein R⁸ is selected from C₃₋₆alkyl, C₆₋₁₀aryl, C₂₋₉heteroaryl, C₆₋₁₀aryl-C₁₋₄alkyl, C₂₋₉heteroaryl-C₁₋₄alkyl, C₃₋₁₀cycloalkyl, and C₃₋₁₀cycloalkyl-C₁₋₄alkyl, wherein said C₃₋₆alkyl, C₆₋₁₀aryl, C₂₋₉heteroaryl, C₆₋₁₀aryl-C₁₋₄alkyl, C₂₋₉heteroaryl-C₁₋₄alkyl, C₃₋₁₀cycloalkyl, and C₃₋₁₀cycloalkyl-C₁₋₄alkyl used in defining R¹ and R⁸ are optionally substituted with one or more groups selected from -R, -NO₂, -OR, -Cl, -Br, -I, -F, -CF₃, -C(=O)R, -C(=O)OH, -NH₂, -SH, -NHR, -NR₂, -SR, -SO₃H, -SO₂R, -S(=O)R, -CN, -OH, -C(=O)OR, -C(=O)NR₂, -NRC(=O)R, and -NRC(=O)-OR, wherein R is, independently, selected from -H, C₁₋₆alkyl and phenyl;

- R² is selected from -H and C₁₋₆alkyl optionally substituted with one or more groups selected from halogen, -CF₃, -OH, C₁₋₃alkoxy, and halogen; and

R³ is selected from -H, C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl, and C₃₋₆cycloalkyl-C₁₋₄alkyl, wherein said C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl, and C₃₋₆cycloalkyl-C₁₋₄alkyl are optionally substituted with one or more groups selected from C₁₋₆alkyl, halogenated C₁₋₆alkyl, -NO₂, -CF₃, C₁₋₆alkoxy and halogen.

25

2. A compound according to claim 1, wherein

R^1 is selected from C_{3-6} alkyl, C_{6-10} aryl, C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-6} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, C_{3-10} cycloalkyl- C_{1-4} alkyl, wherein said C_{3-6} alkyl, C_{6-10} aryl, C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-6} heteroaryl- C_{1-4} alkyl,

5 C_{3-10} cycloalkyl, C_{3-10} cycloalkyl- C_{1-4} alkyl are optionally substituted with one or more groups selected from C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy, and halogen;

R^2 is selected from $-H$ and C_{1-3} alkyl; and

R^3 is selected from $-H$ and C_{1-6} alkyl-O-C(=O)-.

10

3. A compound according to claim 2,

wherein R^1 is R^9-CH_2- , wherein R^9 is selected from phenyl, pyridyl, thienyl, furyl, imidazolyl, triazolyl, pyrrolyl, thiazolyl, N-oxido-pyridyl, benzyl, pyridylmethyl, thienylmethyl, furylmethyl, imidazolylmethyl, triazolylmethyl, pyrrolylmethyl, thiazolylmethyl and N-oxido-pyridylmethyl, optionally substituted with one or more groups selected from C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy and halogen; and

15

R^2 and R^3 are hydrogen.

20

4. A compound according to claim 3,

wherein R^9 is selected from benzyl, phenyl, pyridyl, thienyl, furyl, imidazolyl, pyrrolyl and thiazolyl, optionally substituted with one or more groups selected from C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy, and halogen.

25

5. A compound according to claim 4, wherein

wherein R^9 is selected from benzyl, phenyl, pyridyl, thienyl, furyl, imidazolyl, pyrrolyl and thiazolyl.

6. A compound according to claim 1, wherein

30

R^1 is selected from C_{3-6} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl, wherein said C_{3-6} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl are optionally

substituted with one or more groups selected from C₁₋₄alkyl, halogen, -CF₃, -OH, C₁₋₃alkoxy, phenoxy, and halogen;

R² is -H or C₁₋₃alkyl; and

5 R³ is -H, C₁₋₆alkyl, C₃₋₆cycloalkyl, and C₃₋₆cycloalkyl-C₁₋₄alkyl, wherein said C₁₋₆alkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl are optionally substituted with one or more groups selected from C₁₋₄alkyl, halogen, -CF₃, -OH, C₁₋₃alkoxy, phenoxy, and halogen.

7. A compound according to claim 6, wherein
10 R¹ is selected from 1-propyl, 2-propyl, 1-butyl, 2-butyl, t-butyl, 2-methyl-1-propyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, and cyclononyl;
R² is selected from -H, methyl, ethyl, 1-propyl and 2-propyl; and
R³ is selected from -H, methyl, ethyl, allyl, 3,3-dimethyl-allyl, cyclopropylmethyl, 2-methoxy-ethyl, and 3-methoxy-1-propyl.

15

8. A compound according to claim 1, wherein
R¹ is selected from R⁸-C(=O)-, R⁸-S(=O)₂-, R⁸-S(=O)-, R⁸-NHC(=O)-, R⁸-C(=S)- and R⁸-NH-C(=S)-, wherein R⁸ is selected from C₃₋₆alkyl, C₆₋₁₀aryl, C₂₋₆heteroaryl, C₆₋₁₀aryl-C₁₋₄alkyl, C₂₋₆heteroaryl-C₁₋₄alkyl, C₃₋₁₀cycloalkyl, and C₃₋₁₀cycloalkyl-C₁₋₄alkyl; wherein said C₃₋₆alkyl, C₆₋₁₀aryl, C₂₋₆heteroaryl, C₆₋₁₀aryl-C₁₋₄alkyl, C₂₋₆heteroaryl-C₁₋₄alkyl, C₃₋₁₀cycloalkyl, and C₃₋₁₀cycloalkyl-C₁₋₄alkyl are
20 optionally substituted with C₁₋₄alkyl, halogen, -CF₃, -OH, C₁₋₃alkoxy, phenoxy, and halogen;

R² is -H; and
25 R³ is selected from -H and C₁₋₆alkyl-O-C(=O)-.

9. A compound according to claim 8, wherein
R⁸ is selected from phenyl, benzyl, phenethyl and cyclohexyl, wherein said phenyl, benzyl, phenethyl and cyclohexyl are optionally substituted with one or more
30 groups selected from methyl, methoxy and halogen.

10. A compound according to claim 1, wherein the compound is selected from:

- N,N-diethyl-4-((S)-piperazin-1-yl{3-[(1,3-thiazol-2-ylmethyl)amino]phenyl}methyl)benzamide;
N,N-diethyl-4-((R)-piperazin-1-yl{3-[(1,3-thiazol-2-ylmethyl)amino]phenyl}methyl)benzamide;
5 4-[(S)-[3-(benzylamino)phenyl](piperazin-1-yl)methyl]-N,N-diethylbenzamide;
N,N-diethyl-4-((R)-piperazin-1-yl{3-[(thien-2-ylmethyl)amino]phenyl}methyl)benzamide;
N,N-diethyl-4-((S)-piperazin-1-yl{3-[(thien-2-ylmethyl)amino]phenyl}methyl)benzamide;
10 N,N-diethyl-4-[(S)-{3-[(2-furylmethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
4-[(R)-[3-(benzylamino)phenyl](piperazin-1-yl)methyl]-N,N-diethylbenzamide;
N,N-diethyl-4-[(R)-{3-[(2-furylmethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
15 N,N-diethyl-4-((R)-piperazin-1-yl{3-[(thien-3-ylmethyl)amino]phenyl}methyl)benzamide;
N,N-diethyl-4-((S)-piperazin-1-yl{3-[(thien-3-ylmethyl)amino]phenyl}methyl)benzamide;
20 N,N-diethyl-4-[(R)-{3-[(3-furylmethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
N,N-diethyl-4-[(R)-{3-[(2-phenylethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
4-[(R)-{3-[(cyclohexylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
25 N,N-diethyl-4-[(R)-piperazin-1-yl{3-[[4-trifluoromethyl]benzyl]amino}phenyl)methyl]benzamide;
4-[(R)-{3-[(cyclopentylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
30 4-[(S)-{3-[(cyclohexylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

- 4-[(R)-{3-[(cyclohex-1-en-1-ylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- N,N-diethyl-4-[(S)-{3-[methyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 5 N,N-diethyl-4-[(S)-{3-[ethyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- N,N-diethyl-4-[(R)-{3-[methyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- N,N-diethyl-4-[(R)-{3-[ethyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 10 4-[(R)-{3-[(cyclohexylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(R)-{3-[(cyclopentylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(R)-{3-[(cycloheptylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 15 4-[(R)-{3-[(cyclooctylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(R)-{3-[(cyclononylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(S)-{3-[(cyclohexylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- N,N-diethyl-4-[(R)-{3-[(4-methylphenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 20 N,N-diethyl-4-[(S)-{3-[(4-methylphenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 4-[(R)-{3-[(3-chlorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(S)-{3-[(3-chlorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 25 4-[(R)-{3-[(2-fluorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 4-[(S)-{3-[(2-fluorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- 30 4-[(R)-{3-[(benzoylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
- N,N-diethyl-4-[(R)-{3-[(phenylacetyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

- 4-[(S)-[3-(benzoylamino)phenyl](piperazin-1-yl)methyl]-N,N-diethylbenzamide;
N,N-diethyl-4-[(S)-{3-[(phenylacetyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
N,N-diethyl-4-[(R)-{3-[(2-methyl-2-phenylpropanoyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
5 N,N-diethyl-4-[(R)-{3-[(3-fluorophenyl)acetyl]amino}phenyl](piperazin-1-yl)methyl]benzamide;
4-[(R)-{3-[(cyclohexylacetyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
10 N,N-diethyl-4-[(R)-{3-[(3-phenylpropanoyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
4-[(R)-{3-[(cyclohexylcarbonyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
N,N-diethyl-4-[(R)-{3-[(phenylsulfonyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
15 4-[(R)-{3-[(benzylsulfonyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
N,N-diethyl-4-[(S)-{3-[(phenylsulfonyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
20 4-[(R)-{3-[(anilincarbonyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
4-[(R)-{3-[(anilincarbonothioyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
N,N-diethyl-4-[(S)-1-piperazinyl[3-(propylamino)phenyl]methyl]benzamide;
25 4-[(S)-[3-(dipropylamino)phenyl]-1-piperazinylmethyl]-N,N-diethylbenzamide;
N,N-diethyl-4-[(R)-1-piperazinyl[3-(propylamino)phenyl]methyl]benzamide;
4-[(R)-[3-(dipropylamino)phenyl]-1-piperazinylmethyl]-N,N-diethylbenzamide;
N,N-diethyl-4-[(S)-1-piperazinyl[3-[[[4-(3-pyridinyl)phenyl]methyl]-amino]phenyl]methyl]benzamide;
30 N,N-diethyl-4-[(S)-[3-[[[4-(1H-imidazol-1-yl)phenyl]methyl]amino]-phenyl]-1-piperazinylmethyl]benzamide;

- N,N*-diethyl-4-[(*S*)-1-piperazinyl[3-[(2-quinolinylmethyl)amino]phenyl]-methyl]benzamide;
- 4-[(*R*)-[3-[(2,2-diphenylethyl)amino]phenyl]-1-piperazinylmethyl]-*N,N*-diethylbenzamide;
- 5 4-[(*R*)-[3-[[[4-(1,1-dimethylethyl)phenyl]methyl]amino]phenyl]-1-piperazinylmethyl]-*N,N*-diethylbenzamide;
- N,N*-diethyl-4-[(*R*)-[3-[(4-phenoxyphenyl)methyl]amino]phenyl]-1-piperazinylmethyl]benzamide;
- N,N*-diethyl-4-[(*R*)-[4-(2-propenyl)-1-piperazinyl][3-(propylamino)-phenyl]methyl]benzamide;
- 10 4-[(*R*)-(3-aminophenyl)[4-(2-methoxyethyl)piperazin-1-yl]methyl]-*N,N*-diethylbenzamide;
- 4-[(*R*)-(3-aminophenyl)[4-(3-methoxypropyl)piperazin-1-yl]methyl]-*N,N*-diethylbenzamide;
- 15 *N,N*-diethyl-4-[(*R*)-[4-(2-methoxyethyl)-1-piperazinyl][3-(propylamino)-phenyl]methyl]benzamide;
- N,N*-diethyl-4-[(*R*)-[4-(3-methoxypropyl)-1-piperazinyl][3-(propylamino)phenyl]methyl]benzamide;
- 4-[(*S*)-[3-(cycloheptylamino)phenyl](piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
- 20 4-[(*S*)-[3-(cyclooctylamino)phenyl](piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
- N,N*-diethyl-4-[(*S*)-{3-[(3-phenylpropanoyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;
- 4-[(*R*)-(3-aminophenyl)[4-(2-propenyl)-1-piperazinyl]methyl]-*N,N*-diethylbenzamide;
- 25 4-[(*R*)-(3-aminophenyl)[4-(3-methyl-2-butenyl)-1-piperazinyl]methyl]-*N,N*-diethylbenzamide;
- 4-[(*R*)-(3-aminophenyl)[4-(cyclopropylmethyl)-1-piperazinyl]methyl]-*N,N*-diethylbenzamide;
- N,N*-diethyl-4-[(*R*)-[4-(2-propenyl)-1-piperazinyl][3-[(2-
- 30 thienylmethyl)amino]phenyl]methyl]-benzamide;
- N,N*-diethyl-4-[(*R*)-[4-(3-methyl-2-butenyl)-1-piperazinyl][3-[(2-thienylmethyl)amino]phenyl]methyl]-benzamide;

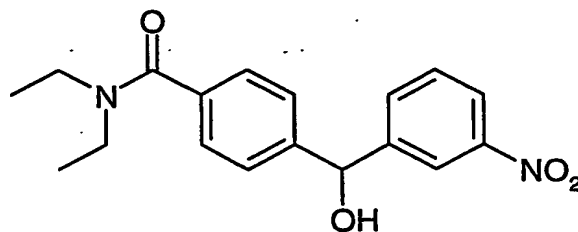
- 4-[(*R*)-[4-(cyclopropylmethyl)-1-piperazinyl][3-(2-thienylmethyl)amino]phenyl)methyl]-*N,N*-diethyl-benzamide;
 4-{(S)-[3-(cyclohexylamino)phenyl][4-(cyclopropylmethyl)piperazin-1-yl)methyl]}-*N,N*-diethylbenzamide;
- 5 4-[(S)-[3-(cyclohexylamino)phenyl](4-propylpiperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
 4-[(S)-[3-(cyclohexylamino)phenyl](4-ethylpiperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
 4-{(S)-(4-allylpiperazin-1-yl)[3-(cyclohexylamino)phenyl)methyl]}-*N,N*-
- 10 diethylbenzamide;
 4-[(S)-{3-[(cyclohexylcarbonyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
 4-[(S)-{3-[(cyclohexylacetyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
- 15 4-[(S)-{3-[cyclohexyl(methyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
 4-[(*R*)-{3-[cyclohexyl(methyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;
 enantiomers thereof; and pharmaceutically acceptable salts thereof.
- 20
11. A compound according to any one of claims 1-10 for use as a medicament.
12. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the therapy of pain, anxiety or functional
- 25 gastrointestinal disorders.
13. A pharmaceutical composition comprising a compound according to any one of claims 1-10 and a pharmaceutically acceptable carrier.
- 30 14. A method for the therapy of pain in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-10.

15. A method for the therapy of functional gastrointestinal disorders in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of
5 claims 1-10.

16. A method for the therapy of anxiety in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-10.

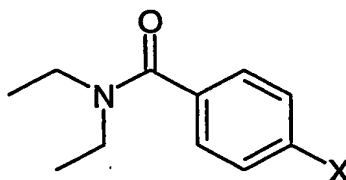
10

17. A process for preparing a compound of formula II, comprising:



II

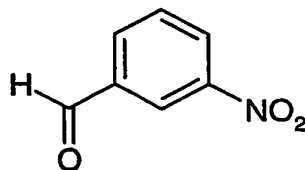
a) reacting a compound of formula III:



III

15

with a compound of formula IV



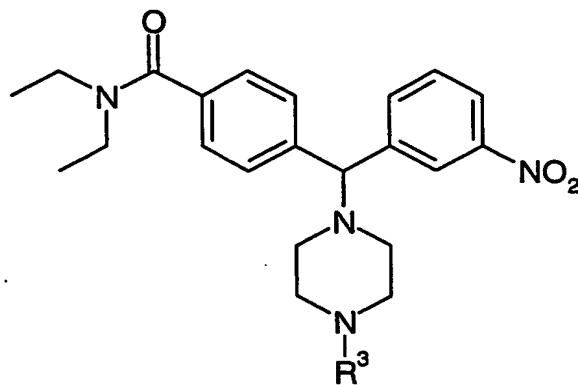
IV

20 in the presence of a base having a pKa of more than 15
wherein

X is a halogen.

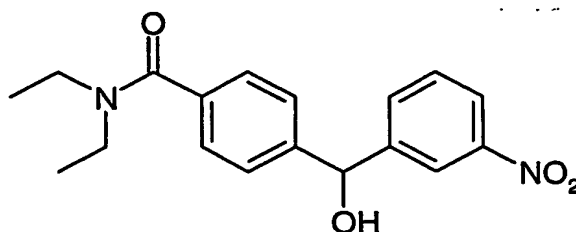
118

18. A process for preparing a compound of formula VI:



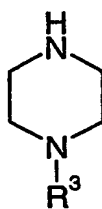
VI,

5 comprising: reacting a compound of formula II



II

with a compound of formula VII



VII

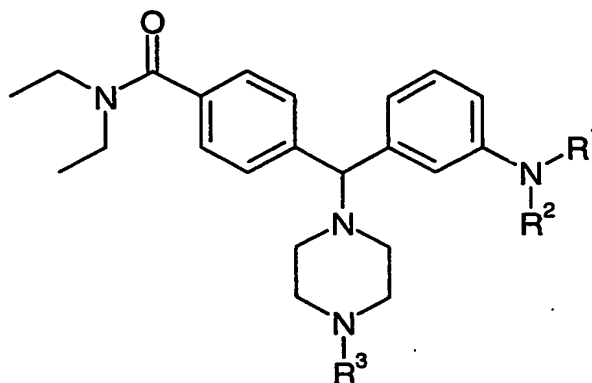
10 in the presence of SOX₂ to form the compound of formula VI,

wherein

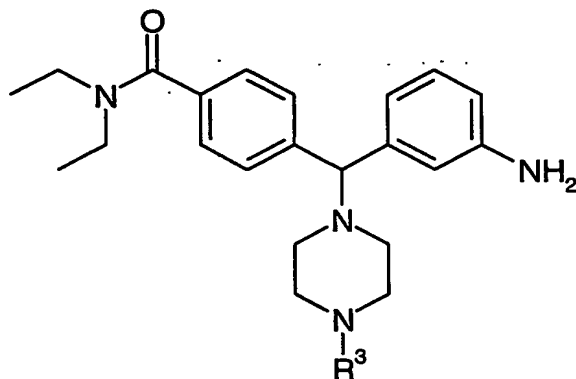
R³ is selected from -H, C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl, and C₃₋₆cycloalkyl-C₁₋₄alkyl, wherein said C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl, and
 15 C₃₋₆cycloalkyl-C₁₋₄alkyl are optionally substituted with one or more groups selected from C₁₋₆alkyl, halogenated C₁₋₆alkyl, -NO₂, -CF₃, C₁₋₆alkoxy and halogen; and
 X is halogen.

119

19. A process for preparing a compound of formula I,

**I**

comprising: reacting a compound of formula VIII,

**VIII**

with R^9 -CHO in the presence of a reducing agent to form the compound of formula I:
wherein

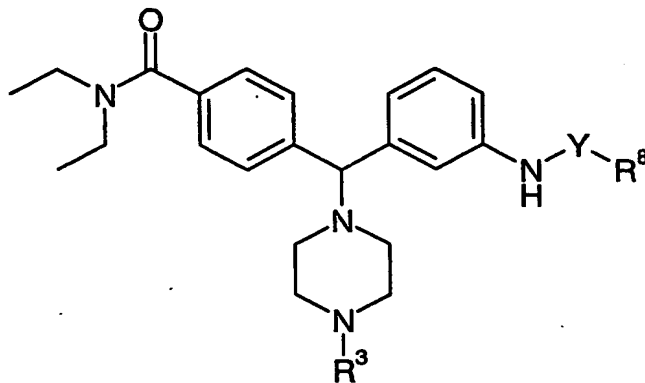
R^1 is R^9 -CH₂-, wherein R^9 is selected from phenyl, pyridyl, thienyl, furyl,
10 imidazolyl, triazolyl, pyrrolyl, thiazolyl, N-oxido-pyridyl, benzyl, pyridylmethyl,
thienylmethyl, furylmethyl, imidazolylmethyl, triazolylmethyl, pyrrolylmethyl,
thiazolylmethyl and N-oxido-pyridylmethyl, optionally substituted with one or more
groups selected from C₁₋₄alkyl, halogen, -CF₃, -OH, C₁₋₃alkoxy, phenoxy and
halogen;

15 R^2 is -H; and

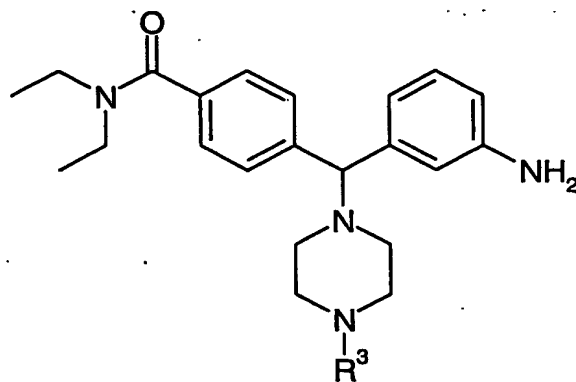
R^3 is selected from C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl, and C₃₋₆cycloalkyl-C₁₋₄alkyl, wherein said C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl, and C₃₋₆cycloalkyl-C₁₋₄alkyl are optionally substituted with one or more groups selected from C₁₋₆alkyl, halogenated C₁₋₆alkyl, -NO₂, -CF₃, C₁₋₆alkoxy and halogen.

120

20. A process for preparing a compound of formula IX,

**IX**

5 comprising: reacting a compound of formula VIII,

**VIII**

with $\text{R}^8\text{-Y-X}$ or $\text{R}^8\text{-Y-O-Y-R}^8$ to form the compound of formula IX:

wherein

10 X is halogen;

Y is selected from -C(=O)- and $\text{-S(=O)}_2\text{-}$;

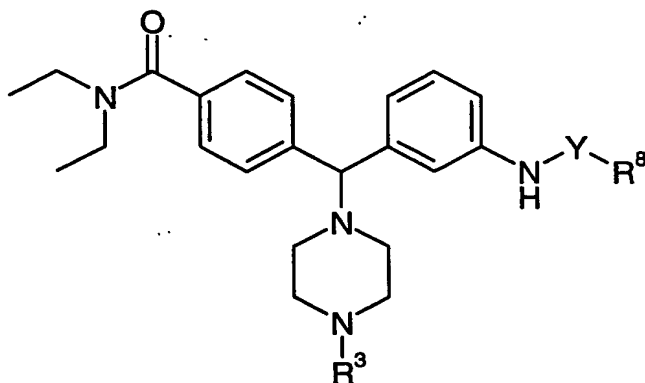
R^8 is selected from C_{3-6} alkyl, C_{6-10} aryl, C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-6} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl; wherein said C_{3-6} alkyl, C_{6-10} aryl, C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-6} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl are optionally substituted with C_{1-4} alkyl, halogen, -CF_3 , -OH , C_{1-3} alkoxy, phenoxy, and halogen; and

R^3 is selected from C_{1-6} alkyl- O-C(=O)- , C_{1-6} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl- C_{1-4} alkyl, wherein said C_{1-6} alkyl- O-C(=O)- , C_{1-6} alkyl, C_{3-6} cycloalkyl,

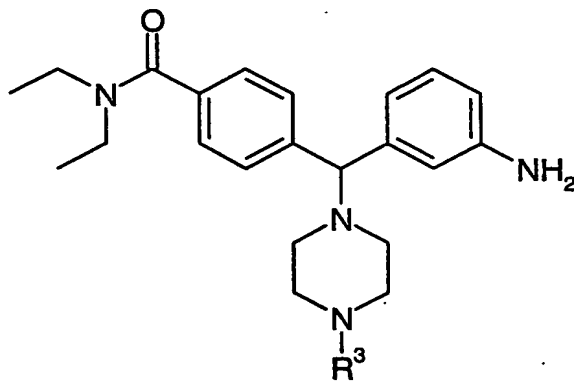
121

and C₃₋₆cycloalkyl-C₁₋₄alkyl are optionally substituted with one or more groups selected from C₁₋₆alkyl, halogenated C₁₋₆alkyl, -NO₂, -CF₃, C₁₋₆alkoxy and halogen.

21. A process for preparing a compound of formula IX,

**IX**

comprising: reacting a compound of formula VIII,

**VIII**

10 with R⁸-Z to form the compound of formula IX:

wherein

Z is selected from -NCO and -NCS;

Y is selected from -C(=O)NH- and -C(=S)NH-;

15 R⁸ is selected from C₃₋₆alkyl, C₆₋₁₀aryl, C₂₋₆heteroaryl, C₆₋₁₀aryl-C₁₋₄alkyl, C₂₋₆heteroaryl-C₁₋₄alkyl, C₃₋₁₀cycloalkyl, and C₃₋₁₀cycloalkyl-C₁₋₄alkyl; wherein said C₃₋₆alkyl, C₆₋₁₀aryl, C₂₋₆heteroaryl, C₆₋₁₀aryl-C₁₋₄alkyl, C₂₋₆heteroaryl-C₁₋₄alkyl, C₃₋₁₀cycloalkyl, and C₃₋₁₀cycloalkyl-C₁₋₄alkyl are optionally substituted with C₁-alkyl, halogen, -CF₃, -OH, C₁₋₃alkoxy, phenoxy, and halogen; and

122

R^3 is selected from C_{1-6} alkyl-O-C(=O)-, C_{1-6} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl- C_{1-4} alkyl, wherein said C_{1-6} alkyl-O-C(=O)-, C_{1-6} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl- C_{1-4} alkyl are optionally substituted with one or more groups selected from C_{1-6} alkyl, halogenated C_{1-6} alkyl, $-NO_2$, $-CF_3$, C_{1-6} alkoxy and halogen.